

~~200399815~~ 10/671040

=> d his

(FILE 'HOME' ENTERED AT 14:40:35 ON 07 JUL 2004)

FILE 'REGISTRY' ENTERED AT 14:40:49 ON 07 JUL 2004

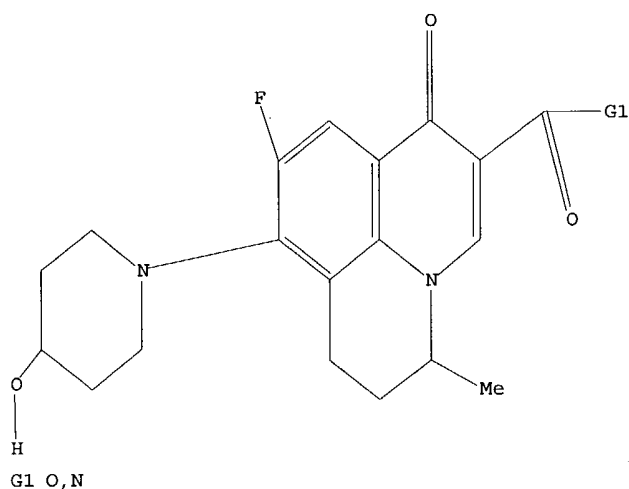
L1 STRUCTURE UPLOADED
L2 7 S L1
L3 106 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:41:43 ON 07 JUL 2004

L4 91 S L3
L5 8 S L4 AND ARGININE
L6 1 S L4 AND HYDRATE?
L7 1 S L4 AND HEMIHYDRATE
L8 9 S L5 OR L6 OR L7

=> d l1

L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> d 1-9 bib abs hitstr

L8 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:951022 CAPLUS
DN 140:8837
TI Preparation of crystalline fluoroquinolone arginine salt form
IN De Souza, Noel J.; Deshpande, Prasad K.; Shukla, Milind C.; Mukarram, Siddiqui M. Jaweed; Kulkarni, Dilip G.; Yeole, Ravindra D.; Patel, Mahesh V.; Gupta, Shrikant V.
PA Wockhardt Limited, India
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099815	A1	20031204	WO 2002-IN123	20020528
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI WO 2002-IN123 20020528

AB The invention relates to the new arginine salt forms of

this app

~~XXXXXXXXXX~~

RS-(±)-9-fluoro-6,7-dihydro-8-(4-hydroxypiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylic acid, S-(-)-9-fluoro-6,7-dihydro-8-(4-hydroxypiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylic acid, R-(+)-9-fluoro-6,7-dihydro-8-(4-hydroxypiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylic acid, a process for their preparation and pharmaceutical formulations which comprise those arginine salt forms as the active ingredient for its use in treating microbial infections. Thus, S-(-)-9-fluoro-6,7-dihydro-8-(4-hydroxypiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylic acid (I) was treated with L-arginine in acetone solution to give the I arginine salt.

IT 306748-89-0P 627891-29-6P 627891-34-3P
628705-85-1P 628705-87-3P 628705-88-4P
628705-89-5P 628705-90-8P 628705-91-9P
628705-94-2P 628705-96-4P 628705-98-6P
628706-00-3P

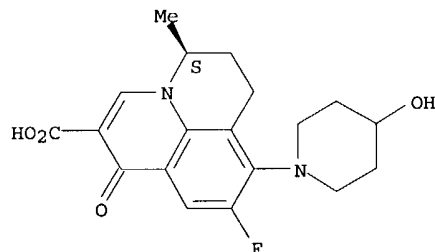
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline fluoroquinolone arginine salts)

RN 306748-89-0 CAPLUS
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

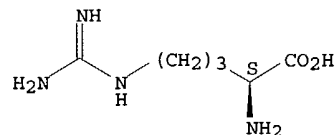
Absolute stereochemistry. Rotation (-).



CM 2

CRN 74-79-3
CMF C6 H14 N4 O2

Absolute stereochemistry.



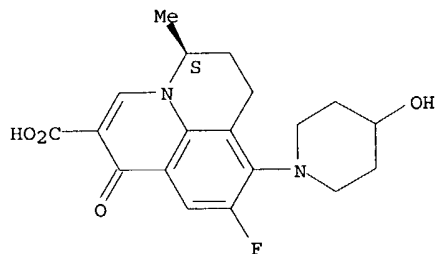
RN 627891-29-6 CAPLUS
CN L-Arginine, (5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylate (3:2) (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

~~2000000~~

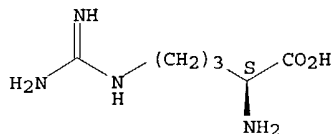


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 627891-34-3 CAPLUS

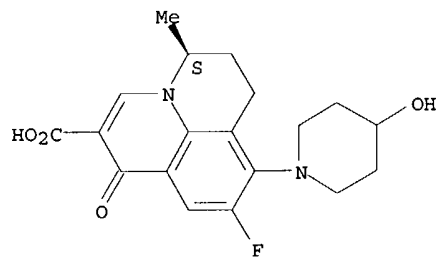
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate], monohydrate (9CI)
(CA INDEX NAME)

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

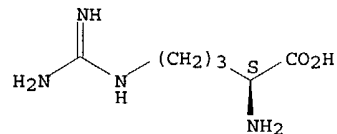


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 628705-85-1 CAPLUS

CN L-Arginine, (5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate, hydrate (9CI) (CA INDEX NAME)

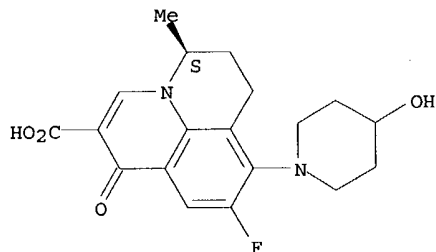
~~154357-42-3~~

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

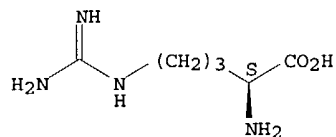


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 628705-87-3 CAPLUS

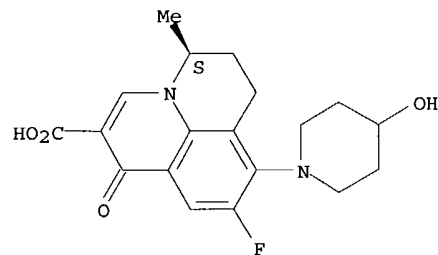
CN L-Arginine, (5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

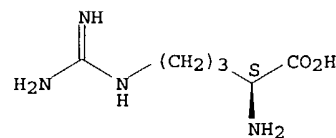


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.

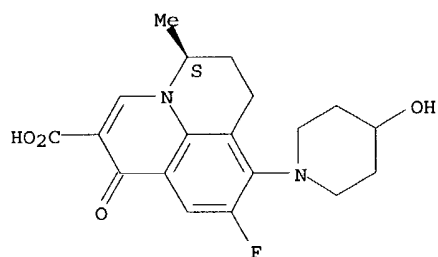


~~154357-42-3~~
RN 628705-88-4 CAPLUS
CN D-Arginine, (5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[*ij*]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

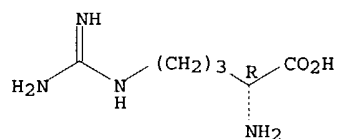
Absolute stereochemistry. Rotation (-).



CM 2

CRN 157-06-2
CMF C6 H14 N4 O2

Absolute stereochemistry.

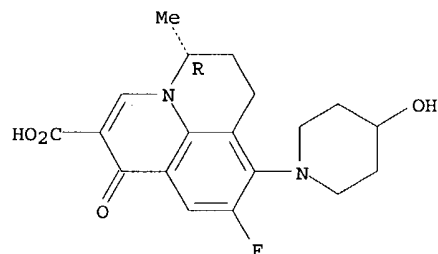


RN 628705-89-5 CAPLUS
CN L-Arginine, (5R)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[*ij*]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 160961-35-3
CMF C19 H21 F N2 O4

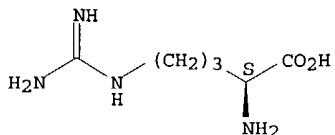
Absolute stereochemistry. Rotation (+).



CM 2

CRN 74-79-3
CMF C6 H14 N4 O2

Absolute stereochemistry.

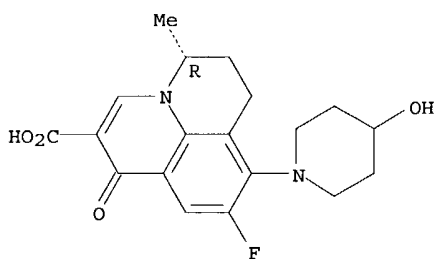


RN 628705-90-8 CAPLUS
 CN D-Arginine, (5R)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 160961-35-3
 CMF C19 H21 F N2 O4

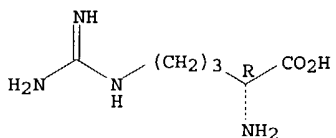
Absolute stereochemistry. Rotation (+).



CM 2

CRN 157-06-2
 CMF C6 H14 N4 O2

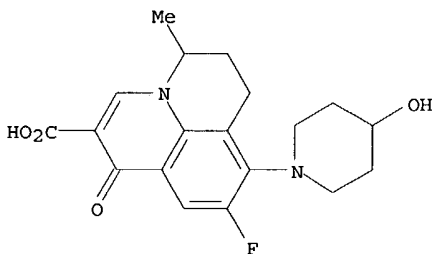
Absolute stereochemistry.



RN 628705-91-9 CAPLUS
 CN L-Arginine, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 124858-35-1
 CMF C19 H21 F N2 O4

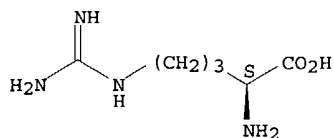


CM 2

~~12854162~~

CRN 74-79-3
CMF C6 H14 N4 O2

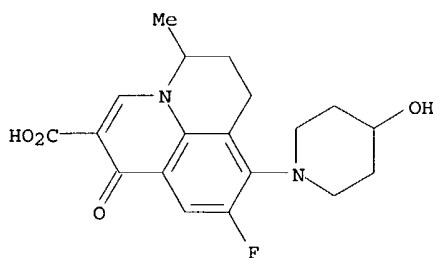
Absolute stereochemistry.



RN 628705-94-2 CAPLUS
CN D-Arginine, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

CM 1

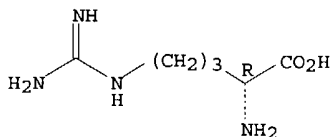
CRN 124858-35-1
CMF C19 H21 F N2 O4



CM 2

CRN 157-06-2
CMF C6 H14 N4 O2

Absolute stereochemistry.

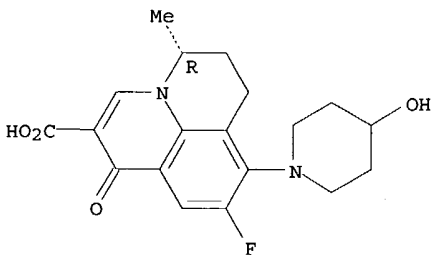


RN 628705-96-4 CAPLUS
CN Arginine, (5R)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 160961-35-3
CMF C19 H21 F N2 O4

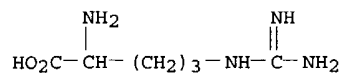
Absolute stereochemistry. Rotation (+).



~~771299-02~~

CM 2

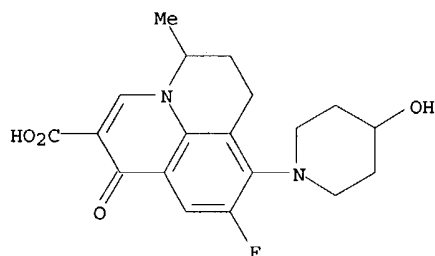
CRN 7200-25-1
CMF C6 H14 N4 O2



RN 628705-98-6 CAPLUS
CN Arginine, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

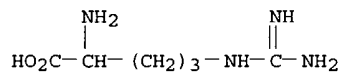
CM 1

CRN 124858-35-1
CMF C19 H21 F N2 O4



CM 2

CRN 7200-25-1
CMF C6 H14 N4 O2

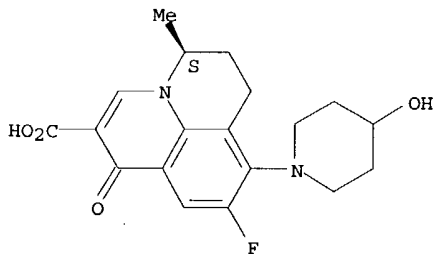


RN 628706-00-3 CAPLUS
CN Arginine, (5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

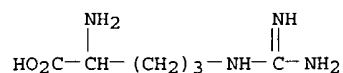
Absolute stereochemistry. Rotation (-).



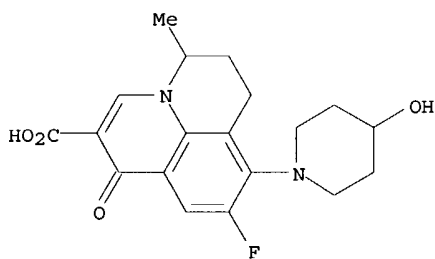
CM 2

~~160961-35-3~~

CRN 7200-25-1
CMF C6 H14 N4 O2

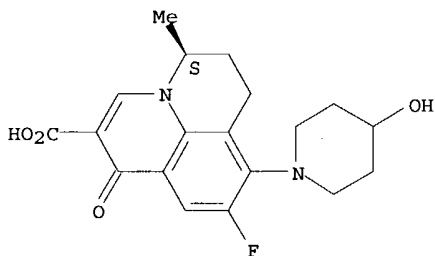


IT 124858-35-1 154357-42-3 160961-35-3
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT
(Reactant or reagent); USES (Uses)
(preparation of crystalline fluoroquinolone **arginine** salts)
RN 124858-35-1 CAPLUS
CN 1H,5H-Benzo[*ij*]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-
hydroxy-1-piperidinyl)-5-methyl-1-oxo- (9CI) (CA INDEX NAME)



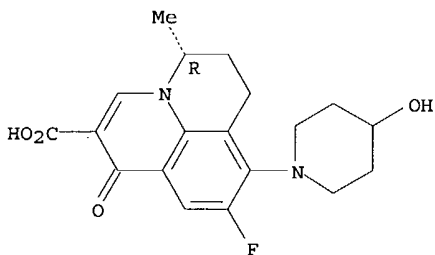
RN 154357-42-3 CAPLUS
CN 1H,5H-Benzo[*ij*]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-
hydroxy-1-piperidinyl)-5-methyl-1-oxo-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 160961-35-3 CAPLUS
CN 1H,5H-Benzo[*ij*]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-
hydroxy-1-piperidinyl)-5-methyl-1-oxo-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 2003:950059 CAPLUS
 DN 140:8806
 TI Preparation of crystalline fluoroquinolone **arginine** salts for
 pharmaceuticals
 IN De Souza, Noel J.; Deshpande, Prasad K.; Shukla, Milind C.; Jaweed,
 Mukarram Siddiqui M.; Kulkarni, Dilip Ganesh; Rahman, Ansari Azizur;
 Yeole, Ravindra D.; Patel, Mahesh V.; Gupte, Shrikant V.
 PA Wockhardt Limited, India
 SO U.S. Pat. Appl. Publ., 15 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003225119	A1	20031204	US 2002-156685	20020528
	US 6664267	B2	20031216		
	US 2004063948	A1	20040401	US 2003-671040	20030925
PRAI	US 2002-156685	A3	20020528		

AB The invention relates to the new **arginine** salt forms of
 RS-(+)-9-fluoro-6,7-dihydro-8-(4-hydroxypiperidin-1-yl)-5-methyl-1-oxo-
 1H,5H-benzo[i,j]quinolizine-2-carboxylic acid, S-(-)-9-fluoro-6,7-dihydro-
 8-(4-hydroxypiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-
 carboxylic acid (I), R-(+)-9-fluoro-6,7-dihydro-8-(4-hydroxypiperidin-1-
 yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylic acid, a
 process for their preparation and pharmaceutical formulations which comprise
 those **arginine** salt forms as the active ingredient for its use
 in treating microbial infections. I was suspended in acetone, and this
 suspension was mixed with L-**arginine** and water. The mixture was
 stirred at 55-60° to obtain a clear solution and to this solution was
 added activated carbon and the solution was filtered. To the filtrate was
 added acetone, and the reaction mixture was stirred for an addnl. for 2 h at
 30-35°, and then allowed to cool to 5°. The obtained solid
 was filtered and washed with acetone. The wet solid was dried at
 80-85° to afford the L L-**arginine** salt hydrate.
 as a cream colored powder.

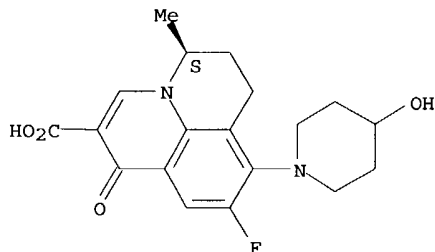
IT 306302-67-0P 306302-69-2P 306748-89-0P
 371246-52-5P 396132-50-6P 627891-14-9P
 627891-18-3P 627891-20-7P 627891-23-0P
 627891-25-2P 627891-29-6P 627891-31-0P
 627891-34-3P 627891-36-5P 627891-38-7P
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of crystalline fluoroquinolone **arginine** salts for
 pharmaceuticals)

RN 306302-67-0 CAPLUS
 CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-
 methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylate], hydrate (4:1)
 (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
 CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

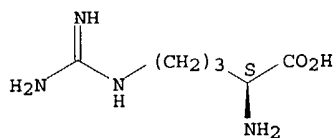


CM 2

CRN 74-79-3
 CMF C6 H14 N4 O2

Absolute stereochemistry.

10634162

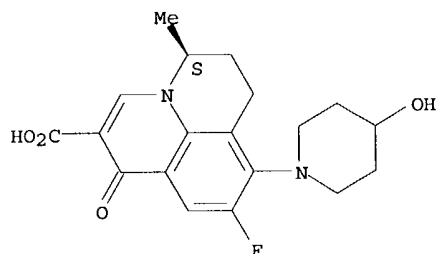


RN 306302-69-2 CAPLUS
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate], hydrate (4:3) (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

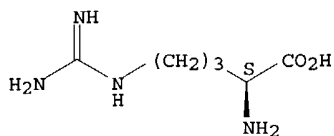
Absolute stereochemistry. Rotation (-).



CM 2

CRN 74-79-3
CMF C6 H14 N4 O2

Absolute stereochemistry.

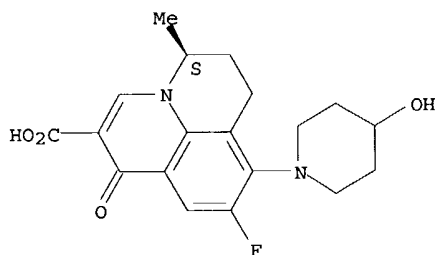


RN 306748-89-0 CAPLUS
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).



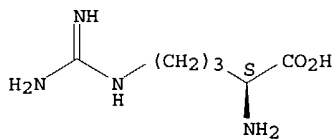
~~Arginine~~

CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 371246-52-5 CAPLUS

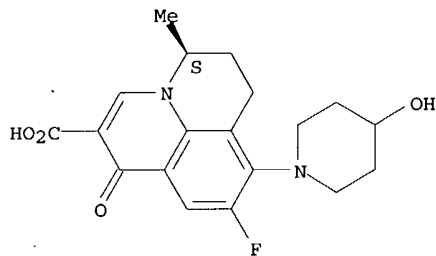
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate], hydrate (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

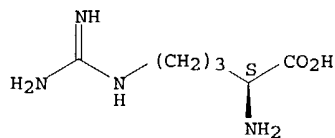


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 396132-50-6 CAPLUS

CN L-Arginine, mono[(R)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

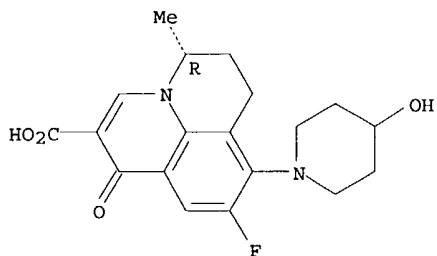
CM 1

CRN 160961-35-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (+).

~~627891-14-9~~

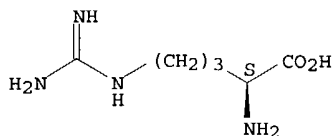


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 627891-14-9 CAPLUS

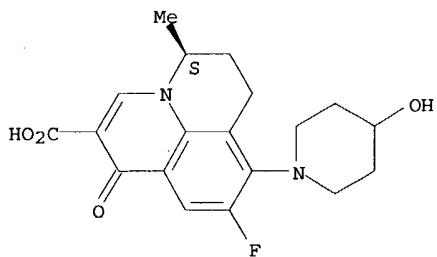
CN D-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

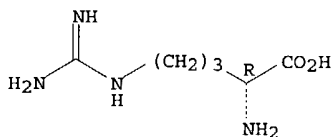


CM 2

CRN 157-06-2

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 627891-18-3 CAPLUS

CN D-Arginine, mono[(5R)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

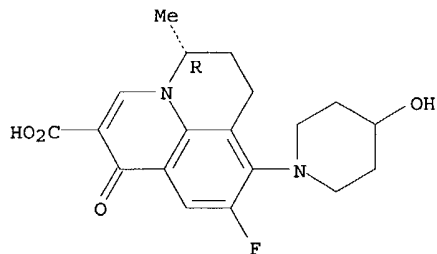
~~160961~~

CM 1

CRN 160961-35-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (+).

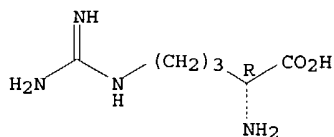


CM 2

CRN 157-06-2

CMF C6 H14 N4 O2

Absolute stereochemistry.



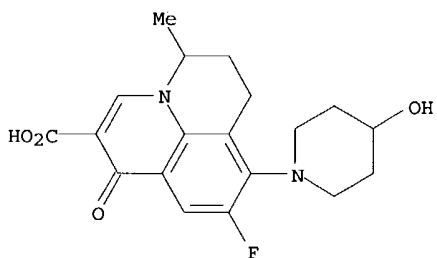
RN 627891-20-7 CAPLUS

CN L-Arginine, mono[9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[*ij*]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 124858-35-1

CMF C19 H21 F N2 O4

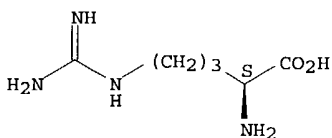


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.

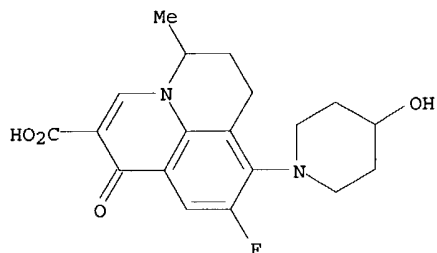


~~1638402~~

RN 627891-23-0 CAPLUS
CN Arginine, mono[9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[*ij*]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

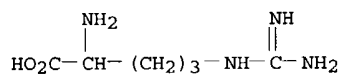
CM 1

CRN 124858-35-1
CMF C19 H21 F N2 O4



CM 2

CRN 7200-25-1
CMF C6 H14 N4 O2

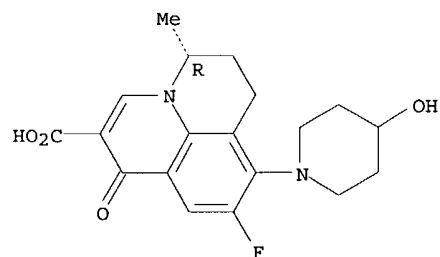


RN 627891-25-2 CAPLUS
CN Arginine, mono[({5R})-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[*ij*]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

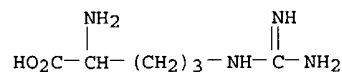
CRN 160961-35-3
CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (+).



CM 2

CRN 7200-25-1
CMF C6 H14 N4 O2



RN 627891-29-6 CAPLUS

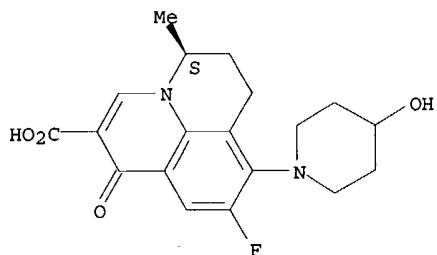
~~Arginine~~

CN L-Arginine, (5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate (3:2) (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

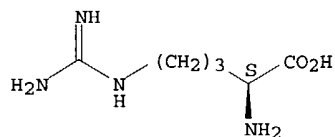
Absolute stereochemistry. Rotation (-).



CM 2

CRN 74-79-3
CMF C6 H14 N4 O2

Absolute stereochemistry.



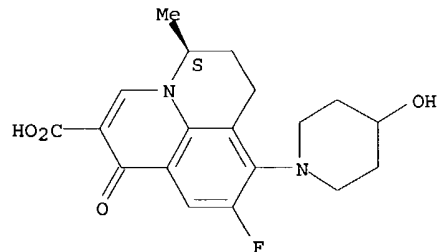
RN 627891-31-0 CAPLUS

CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate], hydrate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

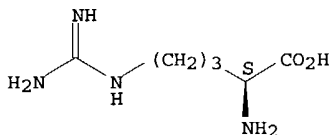
Absolute stereochemistry. Rotation (-).



CM 2

CRN 74-79-3
CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 627891-34-3 CAPLUS

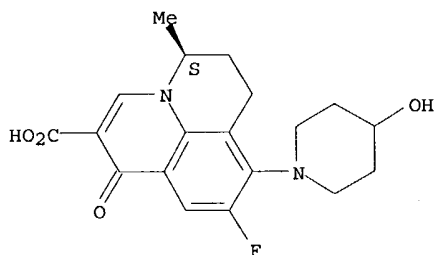
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate], monohydrate (9CI)
(CA INDEX NAME)

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

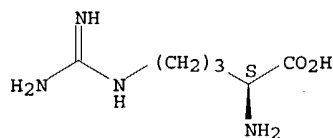


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 627891-36-5 CAPLUS

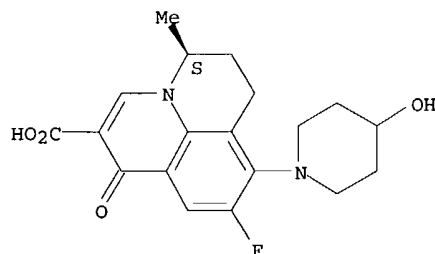
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate], dihydrate (9CI)
(CA INDEX NAME)

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).



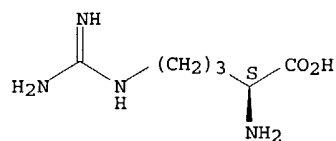
~~124858-35-1~~

CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 627891-38-7 CAPLUS

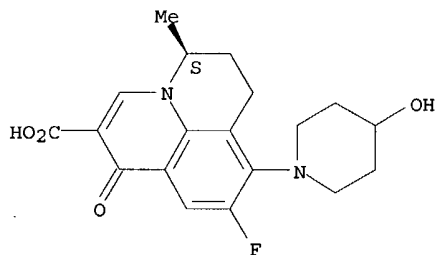
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate], trihydrate (9CI)
(CA INDEX NAME)

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).

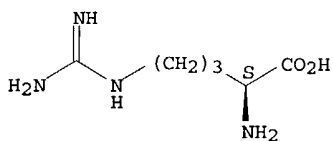


CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.



IT 124858-35-1 154357-42-3 160961-35-3

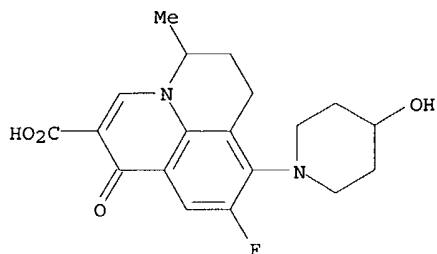
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of crystalline fluoroquinolone arginine salts for pharmaceuticals)

RN 124858-35-1 CAPLUS

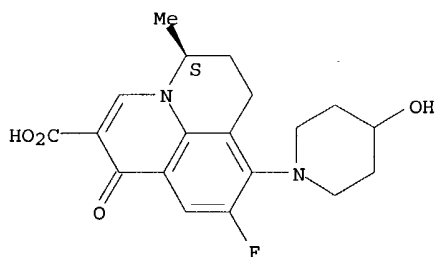
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo- (9CI) (CA INDEX NAME)

18/04/2002



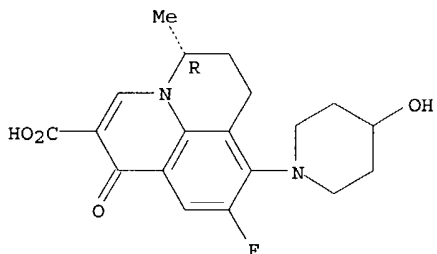
RN 154357-42-3 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 160961-35-3 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L8 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:107157 CAPLUS
DN 136:167388
TI Preparation and use of quinolone and naphthyridine derivatives as inhibitors of cellular efflux pumps of microbes
IN De Souza, Noel J.; Patel, Mahesh V.; Gupta, Shrikant V.; Upadhyay, Dilip J.; Shukla, Milind C.; Chaturvedi, Nishith C.; Bhawsar, Satish B.; Nair, Sheela C.; Jafri, Mohammed A.; Khorakiwala, Habil F.
PA Wockhardt Limited, India
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009758	A2	20020207	WO 2001-IN139	20010731
WO 2002009758	A3	20021227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

~~10000000~~

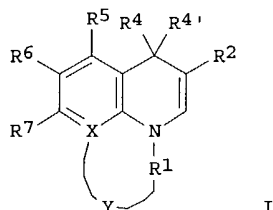
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 6750224	B1	20040615	US 2000-640947	20000817
US 2002165227	A1	20021107	US 2001-850669	20010507
US 6608078	B2	20030819		
AU 2001080091	A5	20020213	AU 2001-80091	20010731
US 2002177559	A1	20021128	US 2001-919347	20010731
EP 1305048	A2	20030502	EP 2001-958373	20010731

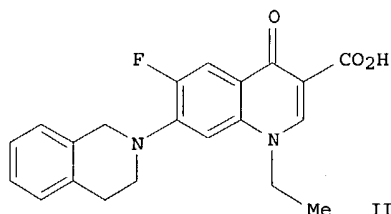
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI	US 2000-22201P	P	20000801
	US 2000-640947	A	20000819
	WO 2000-IN111	W	20001121
	US 2001-286291P	P	20010425
	US 2001-850669	A	20010507
	WO 2001-IN100	A	20010508
	US 1999-170676P	P	19991214
	US 2000-202459P	P	20000508
	US 2000-566875	A2	20000508
	WO 2001-IN139	W	20010731

OS MARPAT 136:167388
 GI



I



II

AB Title compds. I [R1 = H, (cyclo)alkyl, aryl, aralkyl, arylaminoalkyl, aryloxyalkyl, arylSOO-2 alkyl or when X = C and the nitrogen atom to which R1 is linked forms an (un)substituted 4-7 membered ring with X of the adjacent ring, the ring optionally containing one or more hetero atoms selected from N, O, S, said heteroatom(s) represented by Y; R2 = H, CHO, COOR3, CONHR13, where R13 = H or the NHR13 of CONHR13 is the residue of an amino acid; R3 = H, alkyl, cycloalkyl, aryl, aralkyl, arylaminoalkyl, aryloxyalkyl, arylSOO-2 alkyl, O-carboxy, etc.; R4 = H; R4' = H or R4 and R4' taken together are :O, :S; R5 = H, alkyl, amino, alkylamino, acylamino; R6 = H, alkyl, halo, amino, hydroxy; R7 = OH, halo, NR9R10, etc.; R9-10 = H, alkyl, (CH2)nOA or R9 = H and R10 = 4-7 membered carbocyclic, heterocyclic ring linked to the nitrogen of NR9R10 through an atom of the heterocycle other than the heterocyclic atom, etc.; A = H, alkyl, glycosyl, aralkyl, alkanoyl, aminoalkanoyl wherein the aminoalkanoyl group may be an amino acid residue or A is C6H11O6, SO3H, PO3H2; X = CH, CF, CCl, CCH3, CCF3, COCH3, COCHF2, C-OCF3, N or when X is equal to C it forms together with the nitrogen atom of the adjacent ring an (un)substituted 5-7 membered ring containing carbon atoms and optionally Y atoms representing one or more N, O, S] were prepared For instance, a mixture of 1-ethyl-6,7-difluoro-1,4-dihydro-4-oxoquinolone-3-carboxylic acid and 1,2,3,4-tetrahydroisoquinoline (DMSO, Et3N 140°C, 24 h) provided, after work-up and trituration II as a solid (62% yield), m.p. 220°C. II with ciprofloxacin had a fractional inhibitory concentration (FIC) index of 0.314 observed against S. aureus 1199 B (Nor A+). I are effective at inhibiting efflux pumps, e.g., MefA, MefE, Bmr, PmrA, etc.

IT 306302-57-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

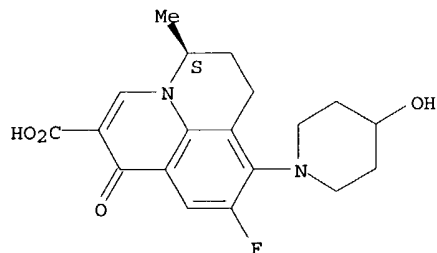
~~XXXXXXXX~~

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; preparation and use of quinolone and naphthyridine derivs. as inhibitors of cellular efflux pumps of microbes)

RN 306302-57-8 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, hydrate (5:1), (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● 1/5 H₂O

IT 306748-89-0P 373603-21-5P 373603-38-4P
373603-59-9P 396132-50-6P 396132-51-7P
396132-52-8P 396132-73-3P 396132-75-5P
396132-76-6P 396132-77-7P 396132-83-5P
396132-85-7P 396132-86-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of quinolone and naphthyridine derivs. as inhibitors of cellular efflux pumps of microbes)

RN 306748-89-0 CAPLUS

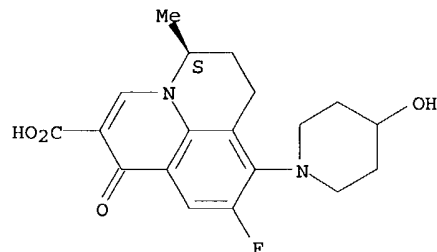
CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3

CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).



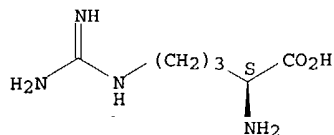
CM 2

CRN 74-79-3

CMF C6 H14 N4 O2

Absolute stereochemistry.

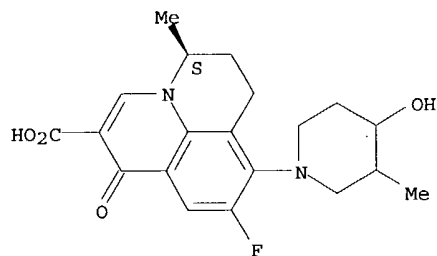
~~373603-16-2~~



RN 373603-21-5 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-3-methyl-1-piperidinyl)-5-methyl-1-oxo-, (5S)- (9CI) (CA INDEX NAME)

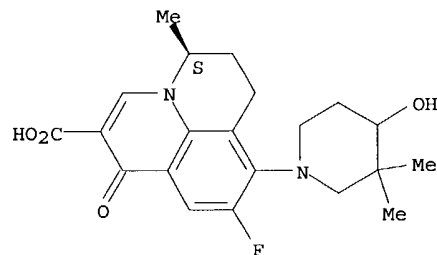
Absolute stereochemistry.



RN 373603-38-4 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-3,3-dimethyl-1-piperidinyl)-5-methyl-1-oxo-, (5S)- (9CI) (CA INDEX NAME)

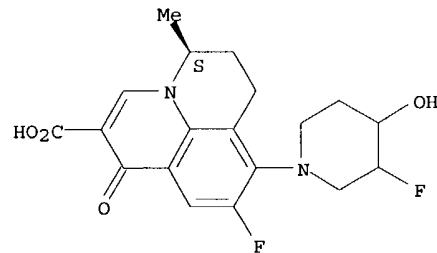
Absolute stereochemistry.



RN 373603-59-9 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-8-(3-fluoro-4-hydroxy-1-piperidinyl)-6,7-dihydro-5-methyl-1-oxo-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 396132-50-6 CAPLUS

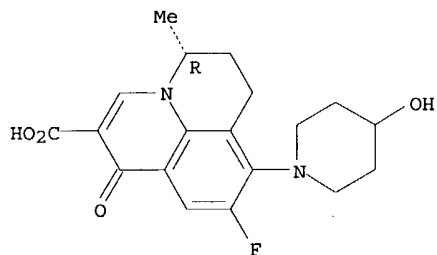
CN L-Arginine, mono[(R)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

~~30611022~~

CRN 160961-35-3
CMF C19 H21 F N2 O4

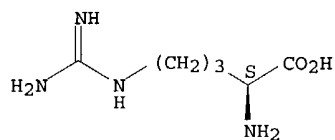
Absolute stereochemistry. Rotation (+).



CM 2

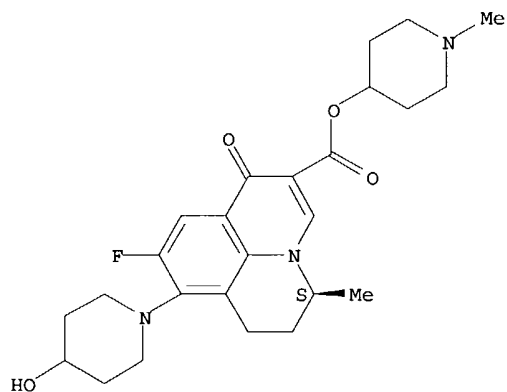
CRN 74-79-3
CMF C6 H14 N4 O2

Absolute stereochemistry.



RN 396132-51-7 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, 1-methyl-4-piperidinyl ester, (5S)- (9CI) (CA INDEX NAME)

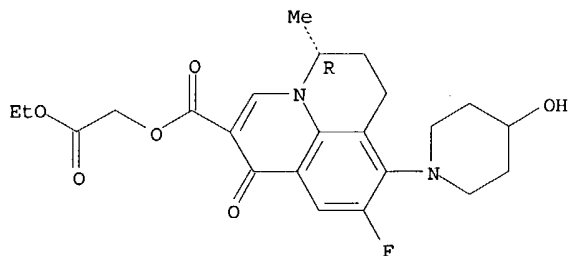
Absolute stereochemistry. Rotation (-).



RN 396132-52-8 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, 2-ethoxy-2-oxoethyl ester, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10634162

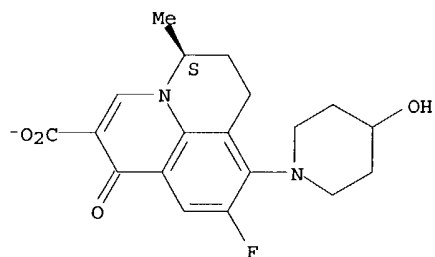


RN 396132-73-3 CAPLUS
CN Ethanaminium, 2-hydroxy-N,N,N-trimethyl-, salt with (5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 402587-45-5
CMF C19 H20 F N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

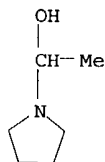
CRN 62-49-7
CMF C5 H14 N O

Me₃⁺N-CH₂-CH₂-OH

RN 396132-75-5 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, (5S)-, compd. with α-methyl-1-pyrrolidinemethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 396132-74-4
CMF C6 H13 N O

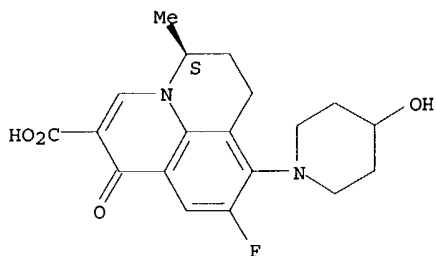


CM 2

CRN 154357-42-3
CMF C19 H21 F N2 O4

~~10024162~~

Absolute stereochemistry. Rotation (-).

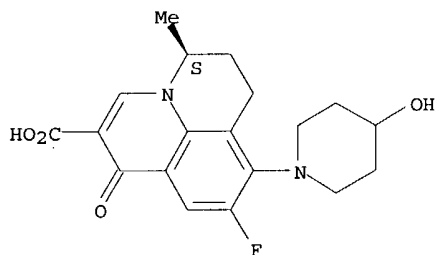


RN 396132-76-6 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, (5S)-, compd. with 2,2'-iminobis[ethanol] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).



CM 2

CRN 111-42-2
CMF C4 H11 N O2

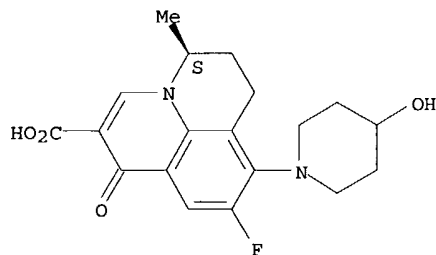
HO-CH₂-CH₂-NH-CH₂-CH₂-OH

RN 396132-77-7 CAPLUS
CN L-Histidine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
CMF C19 H21 F N2 O4

Absolute stereochemistry. Rotation (-).



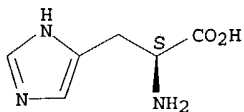
~~156042-92~~

CM 2

CRN 71-00-1

CMF C6 H9 N3 O2

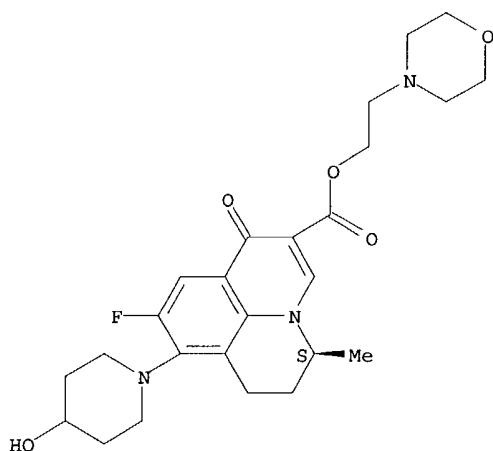
Absolute stereochemistry. Rotation (-).



RN 396132-83-5 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, 2-(4-morpholinyl)ethyl ester, (5S)- (9CI) (CA INDEX NAME)

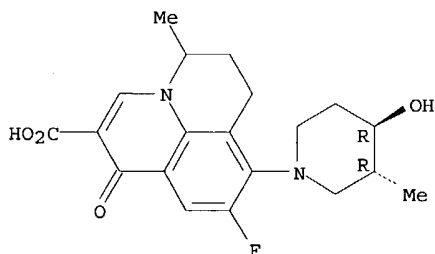
Absolute stereochemistry. Rotation (-).



RN 396132-85-7 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-[(3R,4R)-4-hydroxy-3-methyl-1-piperidinyl]-5-methyl-1-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

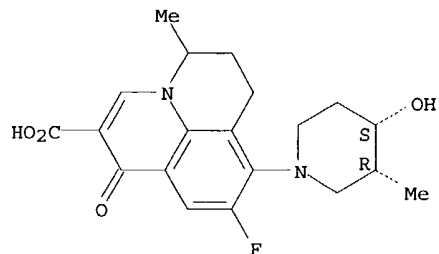


RN 396132-86-8 CAPLUS

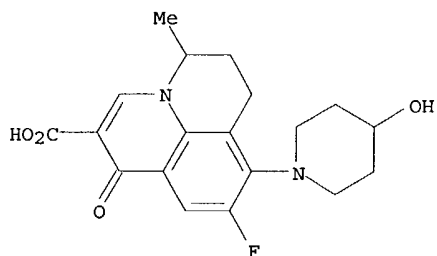
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-[(3R,4S)-4-hydroxy-3-methyl-1-piperidinyl]-5-methyl-1-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

~~160961-35-3~~

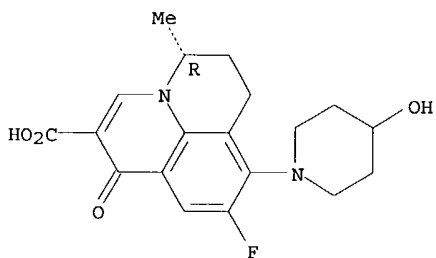


IT 124858-35-1, Nadifloxacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and use of quinolone and naphthyridine derivs. as inhibitors of cellular efflux pumps of microbes)
RN 124858-35-1 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo- (9CI) (CA INDEX NAME)



IT 160961-35-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation and use of quinolone and naphthyridine derivs. as inhibitors of cellular efflux pumps of microbes)
RN 160961-35-3 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L8 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:833024 CAPLUS
DN 135:344388
TI Preparation of chiral fluoroquinone **arginine** salt forms
IN De Souza, Noel John; Agarwal, Shiv Kumar; Patel, Mahesh Vitalbhai; Bhawar, Satish Baliram; Beri, Rupinder Kaur; Yeole, Ravindra Dattatraya; Shetty, Nitin; Khorak Iwala, Habil Fakhud Din
PA India
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085095	A2	20011115	WO 2001-IN97	20010503

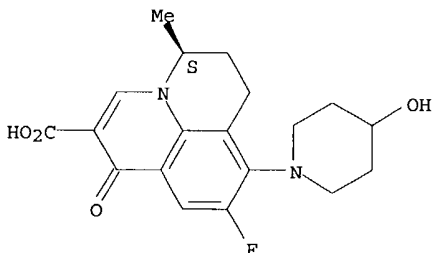
10004252

WO 2001085095 A3 20021003
 WO 2001085095 B1 20021227
 W: AE, AG, AT, AU, BG, BR, BZ, CA, CN, DE, DK, GH, HU, ID, IN, IS, KR, LS, LT, LV, MA, MN, PL, PT, RO, RU, TM, TT, TZ, UZ, YU, ZA, BY, MD, RU, TJ, TM
 RW: GH, GM, LS, MW, SD, SL, TZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, ES, TR, BF, CF, CI, MR, NE, SN, TD, TG
 US 2003207908 A1 20031106 US 2000-566875 20000508
 US 6750224 B1 20040615 US 2000-640947 20000817
 US 2002061908 A1 20020523 US 2001-802793 20010309
 US 6514986 B2 20030204
 AU 2001078666 A5 20011120 AU 2001-78666 20010503
 EP 1311506 A2 20030521 EP 2001-956751 20010503
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 PRAI US 2000-566875 A 20000508
 US 2000-640947 A 20000817
 WO 2000-IN111 W 20001122
 US 2001-802793 A 20010309
 WO 1999-IN16 A 19990507
 US 1999-170676P P 19991214
 WO 2001-IN97 W 20010503
 AB The invention relates to new **arginine** salt forms of S-(-)-9-fluoro-6,7-dihydro-8-(4-hydroxypiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylic acid, a process for their preparation and pharmaceutical formulations which comprise those **arginine** salt forms as the active ingredient for use in treating antimicrobial infections. The salt was studied by X-ray diffraction anal. and differential scanning calorimetry.
 IT 306748-89-0P 371246-52-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation, crystal structure, and thermal anal. of fluorodihydro(hydroxypiperidinyl)methyloxobenzoquinolizinecarboxylic acid **arginine** salt)
 RN 306748-89-0 CAPLUS
 CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate] (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
 CMF C19 H21 F N2 O4

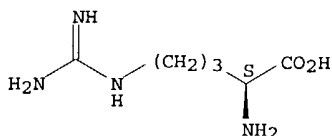
Absolute stereochemistry. Rotation (-).



CM 2

CRN 74-79-3
 CMF C6 H14 N4 O2

Absolute stereochemistry.



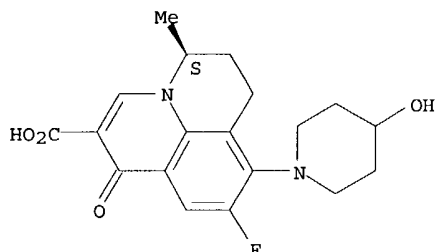
~~1200-1169~~

RN 371246-52-5 CAPLUS
 CN L-Arginine, mono[(5S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-1H,5H-benzo[ij]quinolizine-2-carboxylate], hydrate (9CI) (CA INDEX NAME)

CM 1

CRN 154357-42-3
 CMF C19 H21 F N2 O4

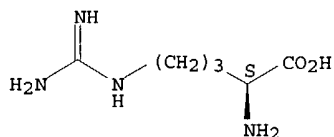
Absolute stereochemistry. Rotation (-).



CM 2

CRN 74-79-3
 CMF C6 H14 N4 O2

Absolute stereochemistry.



L8 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:564833 CAPLUS
 DN 135:152367
 TI Nitrate salts of antimicrobial agents
 IN Del Soldato, Piero; Benedini, Francesca; Antognazza, Patrizia
 PA Nicox S.A., Fr.
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001054691	A1	20010802	WO 2001-EP430	20010116
	W:	AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	IT 1317735	B1	20030715	IT 2000-MI92	20000126
	BR 2001007824	A	20021105	BR 2001-7824	20010116
	EP 1253924	A1	20021106	EP 2001-909631	20010116
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2003520814	T2	20030708	JP 2001-554675	20010116
	US 2003105066	A1	20030605	US 2002-181424	20020724
PRAI	IT 2000-MI92	A	20000126		
	WO 2001-EP430	W	20010116		
OS	MARPAT 135:152367				
AB	Nitrate salts of antiviral, antifungal, and antibacterial agents such as acyclovir, tetracycline, etc. were prepared Growth inhibition of, e.g., an				

10 ~~07-10-92~~

S. Aureus strain by title compds. was demonstrated.

IT 352465-38-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (nitrate salts of antimicrobial agents)

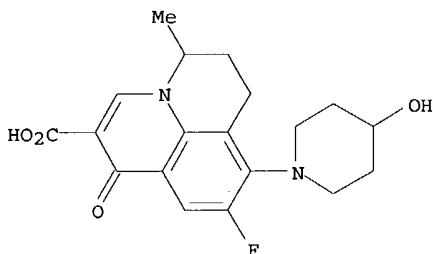
RN 352465-38-4 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo-, nitrate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 124858-35-1

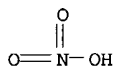
CMF C19 H21 F N2 O4



CM 2

CRN 7697-37-2

CMF H N O3



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:137173 CAPLUS

DN 134:178396

TI Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction

IN Del Soldato, Piero

PA Nicox S.A., Fr.

SO PCT Int. Appl., 94 pp.
 CODEN: PIXXD2

DT Patent

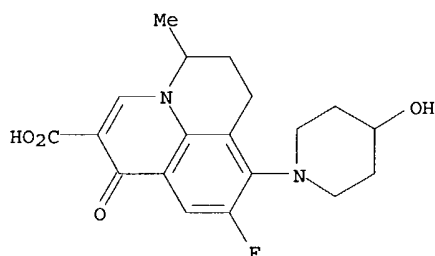
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001012584	A2	20010222	WO 2000-EP7225	20000727
	WO 2001012584	A3	20020829		
	W:	AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	BR 2000013264	A	20020416	BR 2000-13264	20000727
	EP 1252133	A2	20021030	EP 2000-953102	20000727
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
	JP 2003515526	T2	20030507	JP 2001-516885	20000727
	ZA 2002000628	A	20030423	ZA 2002-628	20020123
	NO 2002000623	A	20020409	NO 2002-623	20020208
PRAI	IT 1999-MI1817	A	19990812		

~~14994162~~

WO 2000-EP7225 W 20000727
 OS MARPAT 134:178396
 AB Compds. or their salts of general formula (I): A-B-N(O)s wherein: s is an integer equal to 1 or 2; A = R-T1-, wherein R is the drug radical and T1 = (CO)t or (X)t', wherein X = O, S, NR1c, R1c is H or a linear or branched alkyl or a free valence, t and t' are integers and equal to zero or 1, with the proviso that t = 1 when t' = 0; t = 0 when t' = 1; B = -TB -X2-O- wherein TB = (CO) when t = 0, TB = X when t' = 0, X being as above defined; X2, bivalent radical, is such that the precursor drug of A and the precursor of B meet resp. the pharmacol. tests described in the description. Synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction are disclosed. The precursors are such as to meet the pharmacol. test reported in the description.
 IT 124858-35-1, Nadifloxacin
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (antibiotic; synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction)
 RN 124858-35-1 CAPLUS
 CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:742057 CAPLUS
 DN 133:309791
 TI Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction
 IN Del Soldato, Piero
 PA Nicox S.A., Fr.
 SO PCT Int. Appl., 140 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061541	A2	20001019	WO 2000-EP3239	20000411
WO 2000061541	A3	20010927		
W:	AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, DM, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
IT 1311923	B1	20020320	IT 1999-MI752	19990413
BR 2000009703	A	20020108	BR 2000-9703	20000411
EP 1169298	A2	20020109	EP 2000-926870	20000411
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002541236	T2	20021203	JP 2000-610818	20000411
TR 200102928	T2	20021223	TR 2001-200102928	20000411
NZ 514270	A	20040227	NZ 2000-514270	20000411
ZA 2001008126	A	20030403	ZA 2001-8126	20011003
NO 2001004928	A	20011213	NO 2001-4928	20011010
PRAI IT 1999-MI752	A	19990413		
WO 2000-EP3239	W	20000411		
OS MARPAT 133:309791				
AB Synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction are disclosed. The precursors are such as to meet the pharmacol. test				

~~124858-35-1~~

reported in the description.

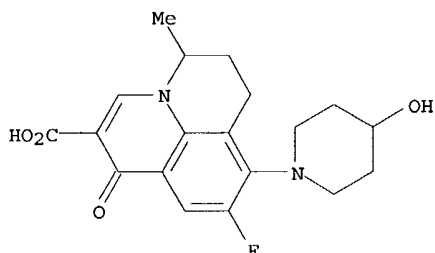
IT 124858-35-1, Nadifloxacin

RL: RCT (Reactant); RACT (Reactant or reagent)

(antibiotic; synthesis, activity and formulations of pharmaceutical compds. for treatment of oxidative stress and/or endothelial dysfunction)

RN 124858-35-1 CAPLUS

CN 1H,5H-Benzo[*ij*]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:742053 CAPLUS

DN 133:310142

TI Synthesis, activity and formulations of pharmaceutical compounds for treatment of oxidative stress and/or endothelial dysfunction

IN Del Soldato, Piero

PA Nicox S.A., Fr.

SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000061537	A2	20001019	WO 2000-EP3234	20000411
	WO 2000061537	A3	20010927		
	W:	AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, DM, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	IT 1311924	B1	20020320	IT 1999-MI753	19990413
	BR 2000009702	A	20020108	BR 2000-9702	20000411
	EP 1169294	A2	20020109	EP 2000-925203	20000411
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	JP 2002541233	T2	20021203	JP 2000-610814	20000411
	ZA 2001008127	A	20030103	ZA 2001-8127	20011003
	NO 2001004927	A	20011213	NO 2001-4927	20011010
PRAI	IT 1999-MI753	A	19990413		
	WO 2000-EP3234	W	20000411		

OS MARPAT 133:310142

AB Compds. A-B-C-N(O)s and A-Cl[N(O)s]-B1 or their salts [s is an integer 1 or 2, preferably s = 2; A is the radical of a drug and is such as to meet the pharmacol. tests reported in the description; C and Cl are two bivalent radicals; the precursors of the radicals B and B1 are such as to meet the pharmacol. test reported in the description] were prepared for use as pharmaceuticals. Thus, (S,S)-N-acetyl-S-(6-methoxy- α -methyl-2-naphthalenylacetyl)cysteine 4-nitroxybutyl ester was prepared (NCX 2101) from naproxene and N-acetylcysteine in the first of 28 synthetic examples given. Pharmacol. test examples and tabular data are also given.

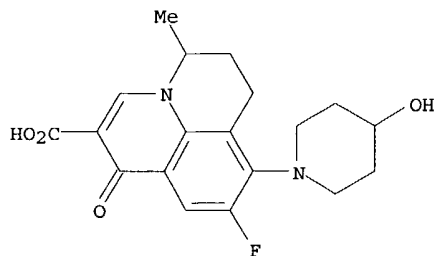
IT 124858-35-1, Nadifloxacin

RL: RCT (Reactant); RACT (Reactant or reagent)
(drug precursor)

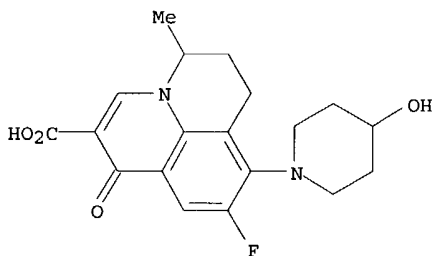
RN 124858-35-1 CAPLUS

CN 1H,5H-Benzo[*ij*]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-1-piperidinyl)-5-methyl-1-oxo- (9CI) (CA INDEX NAME)

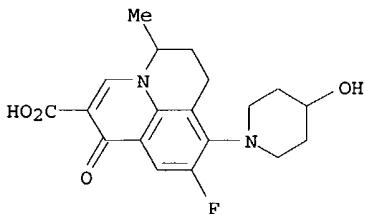
1832482



L8 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:605190 CAPLUS
 DN 121:205190
 TI Crystal structures of nadifloxacin anhydride and its **hemihydrate**
 AU Kido, Masaru; Hashimoto, Koji
 CS 2nd Tokushima Inst. New Drug Res., Otsuka Pharm. Co., Ltd., Tokushima,
 771-01, Japan
 SO Chemical & Pharmaceutical Bulletin (1994), 42(4), 872-6
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 AB The crystal structures of nadifloxacin [9-fluoro-6,7-dihydro-8-(4-hydroxy-
 1-piperidyl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxylic acid]
 anhydride and its **hemihydrate** were determined by x-ray anal. In both
 crystals, two crystallog. independent mols. are contained in an asym.
 unit. Two mols. of each type are tightly bound by hydrogen bonds around a
 center of symmetry. The structural features of nadifloxacin mols. in the
 two crystals are very similar to each other.
 IT 124858-35-1 157930-19-3
 RL: PRP (Properties)
 (crystal structure of)
 RN 124858-35-1 CAPLUS
 CN 1H,5H-Benzo[i,j]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-
 hydroxy-1-piperidinyl)-5-methyl-1-oxo- (9CI) (CA INDEX NAME)



RN 157930-19-3 CAPLUS
 CN 1H,5H-Benzo[i,j]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-
 hydroxy-1-piperidinyl)-5-methyl-1-oxo-, hydrate (2:1) (9CI) (CA INDEX
 NAME)



● 1/2 H₂O